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 TITLE: Synthesis of 2-alkylcysteines and 2-(hydroxylated phenyl)-4-alkylthiazoline-4-carboxylic acids and derivatives
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 PATENT ASSIGNEE(S): Genzyme Corporation, USA
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WO 2003097622	A3	20040408		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
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OTHER SOURCE(S): CASREACT 139:381748

AB The invention provides methods of preparing 2-alkylcysteine derivs., many of which can be performed stereoselectively, and a class of iron-chelating agents related to desferrithiocin, all of which contain a thiazoline ring. The 2-alkylcysteines are represented by R2SCH2CR1R4CO2R3 [R1 = NH2, alkylamino or (hetero)aryl; R2, R3 = H, (un)substituted alkyl or (hetero)aryl; R4 = (un)substituted alkyl]. In examples, 2-methylcysteine was prepared by addition reaction of Me acrylate with bromamine-T, ring cleavage of N-tosyl-2-carbomethoxy-2-methylaziridine with thioacetic acid, and reaction with NaOMe/MeOH and HBr/AcOH/phenol. Treatment of (S)-2-methylcysteine with 2,4-dihydroxybenzonitrile in EtOH containing Et3N afforded 87.6% 4,5-dihydro-2-(2,4-dihydroxyphenyl)-4-methylthiazole-4(S)-carboxylic acid.

IT 625088-61-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of alkylcysteines and (hydroxyphenyl)alkylthiazolinecarboxylic acids)

RN 625088-61-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(4-methoxyphenyl)-4-(phenylmethyl)-, 1-methylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

